

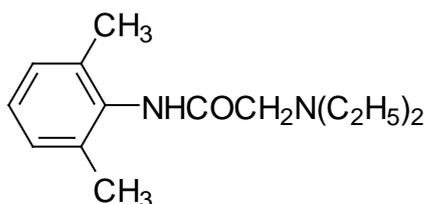
PRODUCT INFORMATION
Xylocaine® 10% Pump Spray

NAME OF THE MEDICINE

Lidocaine (lignocaine). Please note that lidocaine is also known as lignocaine. Lidocaine is mostly used in this document.

Lidocaine is a white or almost white crystalline powder, practically insoluble in water, very soluble in alcohol and in methylene chloride, freely soluble in ether.

The chemical name for lignocaine is 2-diethylamino-2',6'-dimethylacetanilide. The structure is:



The CAS number for lidocaine is 137-58-6, molecular formula: C₁₄H₂₂N₂O, molecular weight: 234.3

DESCRIPTION

Xylocaine 10% Pump Spray contains lidocaine (lignocaine) as the active ingredient.

Each metered dose from Xylocaine 10% Pump Spray delivers 0.1 mL and contains: 10 mg lidocaine (lignocaine), ethanol, macrogol 400, banana flavour PHL-131980, menthol, saccharin and purified water.

Xylocaine 10% Pump Spray does not contain any CFC propellants.

PHARMACOLOGY

Pharmacodynamics

Lidocaine, the active ingredient of Xylocaine 10% Pump Spray, stabilises the neuronal membrane and prevents the initiation and conduction of nerve impulses, thereby effecting local anaesthetic action.

Xylocaine 10% Pump Spray acts on intact mucous membranes to provide prompt local anaesthetic action. Anaesthesia occurs usually within 1-5 minutes and the effect lasts for approximately 10-15 minutes.

Pharmacokinetics

Lidocaine may be absorbed following topical administration to mucous membranes, its rate of absorption and amount of dose absorbed depending upon concentration and total dose administered, the specific site of application and duration of exposure. In general, the rate of absorption occurs most rapidly after intratracheal and bronchial administration. Lidocaine is well absorbed from the gastrointestinal tract, but little intact drug appears in the circulation because of biotransformation in the liver. Lidocaine is metabolised rapidly by the liver, and metabolites and unchanged drug are excreted by the kidney.

Excessive blood levels may cause changes in cardiac output, total peripheral resistance and mean arterial pressure. These changes may be attributable to a direct depressant effect of the anaesthetic agent on various components of the cardiovascular system.

Biotransformation includes oxidative N-dealkylation, ring hydroxylation, cleavage of the amide linkage and conjugation. The pharmacological / toxicological actions of the metabolites are similar to, but not less potent than, those of lidocaine. Approximately 90% of lidocaine is excreted in the form of various metabolites, and less than 10% is excreted unchanged. The primary metabolite in urine is a conjugate of 4-hydroxy-2,6-dimethylaniline.

The plasma binding of lidocaine is dependent on drug concentration, and the fraction bound decreases with increasing concentration. At concentrations of 1 to 4 µg of free base/mL, 60 to 80% of lidocaine is protein bound. Binding is also dependent on the plasma concentrations of the alpha-1-acid glycoprotein.

Lidocaine crosses the blood-brain and placental barriers, presumably by passive diffusion.

Studies of lidocaine metabolism following IV bolus injection have shown that the elimination half-life is usually 1.5 to 2 hours. The half-life may be prolonged 2-fold or more in patients with liver dysfunction. Renal dysfunction does not affect lidocaine kinetics, but may increase the accumulation of metabolites.

Factors such as acidosis and the use of CNS stimulants and depressants affect the CNS levels of lidocaine required to produce overt systemic effects. Objective adverse manifestations become increasingly apparent with increasing venous plasma levels above 6.0 µg free base/mL. In the rhesus monkey arterial blood levels of 18 to 21 µg/mL have been shown to be the threshold for convulsive activity.

INDICATIONS

Xylocaine 10% Pump Spray is used for surface anaesthesia of the mucous membranes prior to the following procedures:

Otorhinolaryngology:

To prepare for puncture of the maxillary sinus; for analgesia of the pharynx to prevent gagging and stress reactions when inserting instruments.

Dental Practice:

Before injections, treating minor abscesses, suture removal, deep scaling and to prevent gagging when taking intraoral impressions and X-rays.

General Anaesthesia:

To prevent coughing with an endotracheal tube in situ during light surgical anaesthesia.

Obstetrics:

During the final stages of delivery and before episiotomy and perineal suturing as supplementary pain control.

CONTRAINDICATIONS

Known history of hypersensitivity to lidocaine or other local anaesthetics of the amide type or to other components of the spray solution.

PRECAUTIONS

Warning:

Excessive dosage, or short intervals between doses, can result in high levels of lidocaine or its metabolites and serious adverse effects. Patients should be instructed to strictly adhere to the recommended dosage and administration guidelines.

The lowest dose that results in effective anaesthesia should be used to avoid high plasma levels and serious adverse effects. Tolerance to elevated blood levels varies with the status of the patient.

Dosage reduction

Debilitated, elderly and/or acutely ill patients, and children should be given reduced doses commensurate with their age and physical status.

Excessive absorption

Absorption from wound surfaces and mucous membranes is relatively high, especially in the bronchial tree. Such applications may therefore result in rapidly rising or excessive plasma concentrations, with an increased risk of toxic symptoms, such as convulsions. Because of the possibility of significant systemic absorption, Xylocaine 10% Pump Spray should be used with caution in patients with wounds, traumatised mucosa and/or sepsis in the region of the proposed application. The management of serious adverse reactions may require the use of resuscitative equipment, oxygen and other resuscitative drugs.

If the dose or site of administration is likely to result in high blood levels, lidocaine, in common with other local anaesthetics, should be used with caution in patients with epilepsy, impaired cardiac conduction, bradycardia, cardiovascular disease and heart failure, impaired hepatic function, severe shock, severe renal dysfunction, in elderly patients and patients with poor general health.

Eating and drinking

The use of topical anaesthetic agents in the oral cavity may interfere with swallowing and thus enhance the danger of aspiration of food or drink. For this reason, food or drink should not be ingested within 60 minutes of using local anaesthetics in the mouth or throat area. Numbness of the tongue or buccal mucosa may increase the danger of biting or heat trauma. Food, chewing gum or hot drinks should not be taken while the mouth or throat area is anaesthetised.

Contact with the eyes

Xylocaine 10% Pump Spray is not intended for ophthalmological use. If the spray inadvertently comes into contact with the eyes, rinse immediately with copious amounts of water for at least 15 minutes and seek medical advice.

Paralysed patients

In paralysed patients under general anaesthesia, higher blood concentrations may occur than in spontaneously breathing patients. Unparalysed patients are more likely to swallow a large proportion of the dose which then undergoes first-pass hepatic metabolism following absorption from the gut.

Malignant hyperthermia

Many drugs used during the conduct of anaesthesia are considered potential triggering agents for familial malignant hyperthermia. It has been shown that the use of amide local anaesthetics in malignant hypothermia patients is generally safe, but cases of malignant hyperthermia have occasionally been documented after use.

Porphyric patients

Xylocaine 10% Pump Spray is probably porphyrinogenic and should only be used on patients with acute porphyria where there are strong or urgent indications. Appropriate precautions should be taken for all porphyric patients.

Directions for use of Spray Nozzles

The short spray nozzles for Xylocaine 10% Pump Spray are already bent to their final appearance and should not be manipulated any further. Inappropriate manipulation can weaken the integrity of the nozzle, which might lead to the nozzle tip being dislodged. The nozzle must not be shortened, otherwise the spray function will be destroyed. If used correctly, the nozzles pose no risk to the patient.

Each pack contains one short, single use, disposable nozzle. Additional short and long disposable nozzles are available separately. Nozzles should not be reused

and should be discarded immediately after use. Do not use the same nozzle on different patients.

Teratogenicity

Reproduction studies have been performed in rats at doses of 500 mg/kg/day and have revealed no evidence of harm to the foetus caused by lidocaine.

Carcinogenic and Mutagenic Potential

Genotoxicity tests with lidocaine are inconclusive. In genotoxicity studies, a metabolite of lidocaine, 2,6-xylydine, showed evidence of activity in some tests but not in other tests. This metabolite has been shown to have carcinogenic potential (nasal and subcutaneous tumours) in preclinical toxicological studies evaluating chronic exposure.

Use in pregnancy - Category A

Lidocaine crosses the placental barrier and may be taken up by foetal tissues. When used for surface anaesthesia, lidocaine blood levels after normal doses are low so little drug is available for placental transfer.

There are, however, no adequate and well-controlled studies in pregnant women.

It is reasonable to assume that a large number of pregnant women and women of child bearing age have used lidocaine. No specific disturbances to the reproduction process have so far been reported.

Labour and delivery

Lidocaine is not contraindicated in labour and delivery.

Use in lactation

Lidocaine enters breast milk, but in such small quantities that there is generally no risk of affecting the child at therapeutic dose levels.

INTERACTIONS WITH OTHER MEDICINES

Antiarrhythmic drugs

Lidocaine should be used with caution in patients receiving other local anaesthetics or agents structurally related to amide-type local anaesthetics e.g. antiarrhythmic drugs, such as mexiletine, since the toxic effects are additive.

Patients treated with anti-arrhythmic drugs class III (e.g. amiodarone) should be under close surveillance and ECG monitoring considered, since cardiac effects may be additive.

Specific interaction studies with lidocaine and anti-arrhythmic drugs class III (e.g. amiodarone) have not been performed, but caution is advised.

XYLOCAINE 10% Pump Spray should not be used on cuffs of endotracheal tubes (ETT) made of plastic. Lidocaine base in contact with both PVC and non-PVC cuffs of endotracheal tubes may cause damage of the cuff. This damage is described as pinholes, which may cause leakage that could lead to pressure loss in the cuff.

Enzyme inducing drugs

Drugs that reduce the clearance of lidocaine (e.g. cimetidine or beta-blockers) may cause potentially toxic plasma concentrations when lidocaine is given in repeated high doses over a long time period. Such interactions should therefore be of no clinical importance following short-term treatment with lidocaine (e.g. Xylocaine Spray) at recommended doses. Caution should be taken if any of these drugs are administered concurrently with lidocaine.

Phenytoin and other antiepileptic drugs such as phenobarbitone, primidone and carbamazepine appear to enhance the metabolism of lidocaine but the significance of this effect is not known. Phenytoin and lidocaine have additive cardiac depressant effects.

Effects on ability to drive and operate machines

Depending on the dose, local anaesthetics may have a very mild effect on mental function and may temporarily impair locomotion and coordination.

ADVERSE EFFECTS

Systemic adverse reactions are rare and may result from high plasma levels caused by excessive dosage or rapid absorption, or may result from a hypersensitivity, idiosyncrasy or reduced tolerance on the part of the patient. Such reactions are systemic in nature and involve the central nervous system and/or the cardiovascular system.

Central Nervous System

CNS reactions are excitatory and/or depressant and may be characterised by rapid eyeball movement, speech paralysis, lightheadedness, nervousness, apprehension, euphoria, confusion, dizziness, drowsiness, tinnitus, blurred vision, vomiting, sensations of heat, cold or numbness, twitching, tremors, convulsions, unconsciousness and possibly respiratory arrest. The excitatory reactions may be brief or may not occur at all, in which case the first manifestations of toxicity may be drowsiness, progressing to unconsciousness and respiratory arrest.

Drowsiness following administration of lidocaine is usually an early sign of a high blood level of the drug and may occur as a result of rapid absorption.

Cardiovascular

Cardiovascular reactions are usually depressant and may be characterised by hypotension, myocardial depression, bradycardia and possibly cardiac arrest.

Allergic reactions

Allergic reactions may occur as a result of sensitivity either to the local anaesthetic agent or to other ingredients in the formulation. Allergic reactions as a result of sensitivity to lidocaine are extremely rare. The detection of sensitivity by skin testing is of doubtful value.

The extremely rare cases of allergy to local anaesthetic preparations have included bronchospasm, chest pain, dyspnoea, pruritus, rash, rhinitis, increased sweating, urticaria, sleepiness, dizziness, paraesthesia, oedema, and in the most severe instances anaphylactic shock. Several cases of contact dermatitis have been reported with the use of lidocaine.

Local reactions

Local irritation at the application site has been described. Following application to laryngeal mucosa before endotracheal intubation, reversible symptoms such as 'sore throat', 'hoarseness' and 'loss of voice' have been reported. The use of Xylocaine 10% Pump Spray provides surface anaesthesia during an endotracheal procedure but does not prevent post-intubation soreness.

DOSAGE AND ADMINISTRATION

As with any local anaesthetic, reactions and complications are best averted by employing the minimal effective dosage. Debilitated, acutely ill or elderly patients and children should be given doses commensurate with their age and physical condition.

The dose of topical lidocaine should be taken into consideration in estimating the total dose of lidocaine if parenteral lidocaine is to be administered concomitantly.

The number of sprays used will depend on the extent of the area to be anaesthetised. It is unnecessary to dry the site prior to application. Each activation of the metered dose valve delivers 10 mg of lidocaine.

Before using the spray, the bottle should be primed by pressing the piston once or twice.

Additional short and long disposable nozzles are available separately. Nozzles should not be reused and should be discarded immediately after use. Do not use the same nozzle on different patients.

Adults

No more than 20 sprays (total dose 200 mg lidocaine) should be used in any adult to produce the desired anaesthetic effect.

Dental practice

1 - 5 sprays to the mucous membranes. Two sprays per quadrant of oral mucosa are recommended as the upper limit and, *under no circumstances* should the dose exceed 3 sprays per quadrant of oral mucosa over 30 minutes.

Otorhinolaryngology

3 sprays for puncture of the maxillary sinus.

During delivery

Up to 20 sprays.

Introduction of instruments and catheters into the respiratory and digestive tract

Up to 20 sprays for procedures in pharynx, larynx and trachea.

Children

In children aged 3 – 12 years, the dose should not exceed 3 mg/kg of bodyweight. When used mainly in the larynx and trachea the dose should be reduced to 1.5 mg/kg of bodyweight. In children under the age of 3, less concentrated lidocaine solutions are recommended.

OVERDOSAGE

In the event of an overdose, contact the Poisons Information Centre on 13 11 26.

Management of local anaesthetic emergencies

The first consideration is prevention, best accomplished by careful and constant monitoring of cardiovascular and respiratory vital signs and the patient's state of consciousness after each local anaesthetic administration. At the first sign of change, oxygen should be administered.

Treatment

Should symptoms of systemic toxicity occur, the signs are anticipated to be similar in nature to those following the administration of local anaesthetics by other routes. Local anaesthetic toxicity is manifested by symptoms of nervous system excitation and, in severe cases, central nervous and cardiovascular depression.

If circulatory arrest should occur, immediate cardiopulmonary resuscitation should be instituted. Optimal oxygenation and ventilation and circulatory support as well as treatment of acidosis are of vital importance.

If convulsions or other severe neurological symptoms occur, such as CNS depression, then immediate attention is required for the maintenance of a patent airway and assisted or controlled ventilation with oxygen, via a positive airway pressure delivery system mask. Adequacy of the circulation should then be evaluated, bearing in mind that drugs used to treat convulsions depress the circulation when administered IV.

Should convulsions persist despite adequate respiratory support, and if the status of the circulation permits, appropriate anticonvulsant medication such as an ultra-short acting barbiturate or a benzodiazepine may be administered IV. The

clinician should be familiar with these anticonvulsant drugs prior to use of local anaesthetics.

Dialysis is of negligible value in the treatment of acute overdosage with lidocaine.

PRESENTATION AND STORAGE CONDITIONS

Xylocaine 10% Pump Spray, 50 mL pump actuated glass bottle, equipped with a metering pump with immersion tube and one short, single use, disposable plastic nozzle. Each 100 µL metered dose delivers 10 mg of lidocaine. Additional short and long disposable nozzles are available separately.

Store below 25°C.

NAME AND ADDRESS OF THE SPONSOR

Aspen Pharmacare Australia Pty Ltd
34-36 Chandos Street
St Leonards NSW 2065
Australia

POISON SCHEDULE OF THE MEDICINE

S2

DATE OF FIRST INCLUSION IN THE AUSTRALIAN REGISTER OF THERAPEUTIC GOODS (the ARTG)

19 January 2007

DATE OF MOST RECENT AMENDMENT

121 January 2018

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